Ad-PEG₃₄₀₀

$\boldsymbol{Ad\text{-PEG}_{3400}\text{-}LAC}$

Ad-PEG₅₀₀₀

Ad-(PEG₅₀₀₀)₂

Figure | Structures of Various Adamantane-PEG molecules.

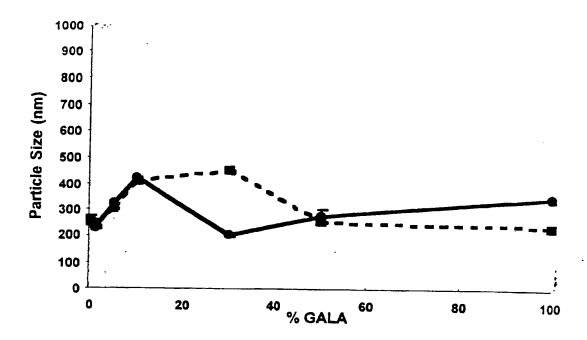


Figure 2. Hydrodynamic diameter of GALA (dashed line) and GALA-Ad (solid line)-modified polyplexes.

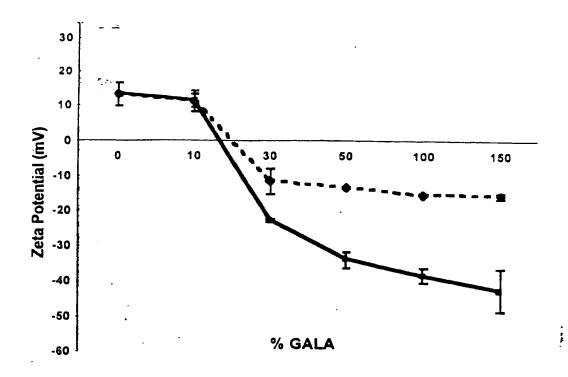
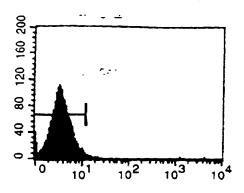


Figure 3. Zeta potential of GALA (dashed line) and GALA-Ad (solid line)-modified polyplexes.



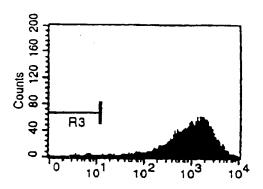
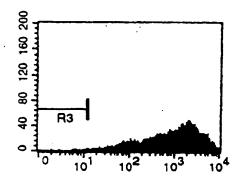


Fig 4a. Untransfected BHK-21 cells

Fig 4b. BHK-21 cells transfected with βCDP6/FITC-Oligo at 5+/-



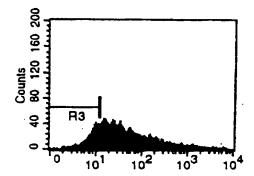
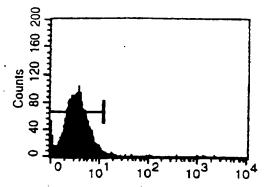


Fig 4c. BHK-21 cells transfected with βCDP6/FITC-Oligo/50% GALA

Fig 4d. BHK-21 cells transfected with βCDP6/FITC-Oligo/50%GALA-Ad

Fig 4. Uptake of GALA-Ad and GALA modified polyplexes by BHK-21 cells.



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Figure 5a. Untransfected HUH-7 cells

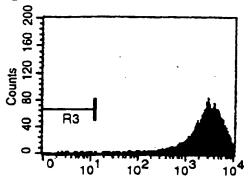


Figure .5b. HUH-7 transfected with βCDP6/FITC-Oligo at 5+/-

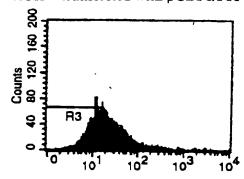


Fig 5c. HUH-7 transfected with βCDP6/FITC-Oligo/ 50% GALA-Ad

Fig 5. Uptake of GALA-Ad and GALA modified polyplexes by HUH-7 cells

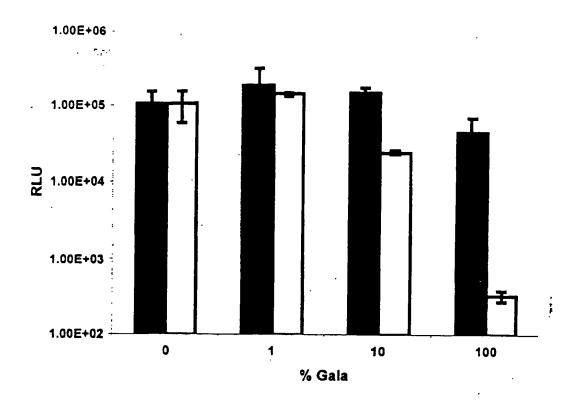


Fig 6. Luciferase transfection of BHK-21 cells with β CDP-based polyplexes modified with GALA (shaded bars) and GALA-Ad (white bars).

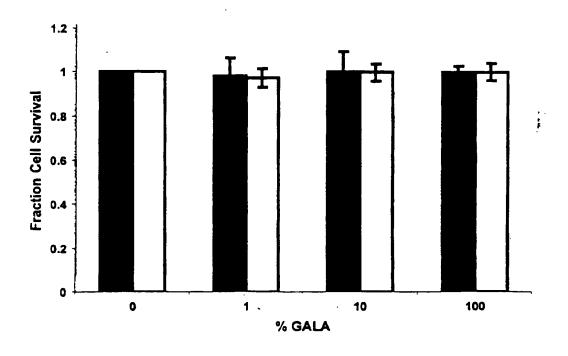


Fig 7. Toxicity of GALA and GALA-Ad modified polyplexes to BHK-21 cells.

Figure 8: Scheme for post-DNA-complexation pegylation by grafting.

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Polyplex	PEG	Stage 1 (nm)	Stage 2 (nm)	Stage 3 (nm)
PEI 3+/-	10:1	58	65	115
PEI 6+/-	10:1	55	60	78
βCDP6 5+/-	100%	70	67.4	303
βCDP6 5+/-	150%	70	X*	N/A
βCDP6 5+/-	200%	. 70	x·	N/A
βCDP6 5+/-	100% PEG**	67	81	700

^{*}Poor correlation function; no size measurements possible. "PEG $_{5000}$ added instead of PEG $_{5000}$ -SPA

Figure 9: Particle sizes of PEI and 12 (βCDP6) polyplexes during post-DNA-complexation pegylation of grafting.

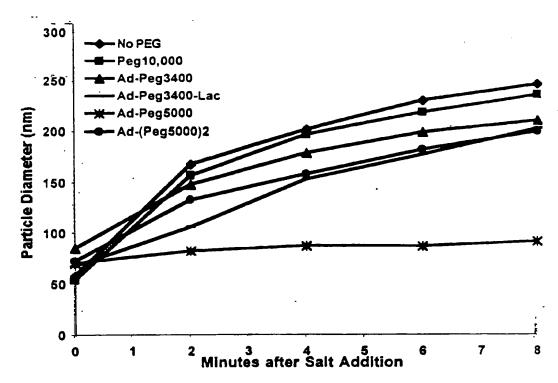


Fig 10 Salt stabilization of polyplexes by pegylation.

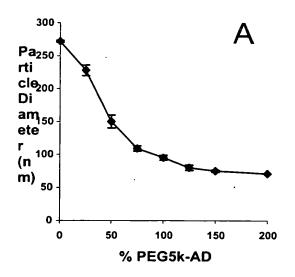


Figure 10A: Stabilization of polyplexes by pegylation.

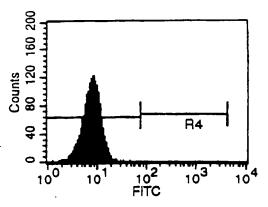


Fig | | a Untransfected HUH-7

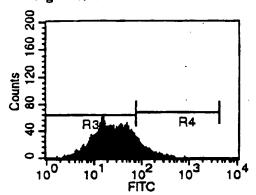


Fig 115 HUH-7 transfected with β CDP6/Oligo + free PEG₃₄₀₀-FITC

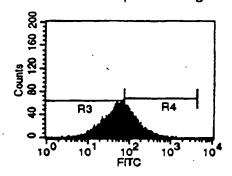


Fig 11c HUH-7 transfected with βCDP6/Oligo/Ad-PEG₃₄₀₀-FITC

Fig ! | Co-delivery of βCDP6 polyplexes with PEG₃₆₀₀-FITC.

Fig 12 Structure of Lactose-CDP6.

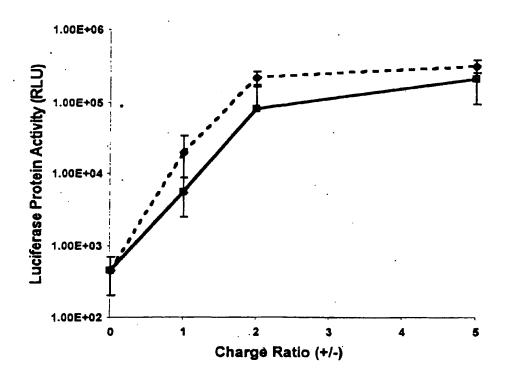


Fig $13\,$ Transfection of β CDP (dashed line) and Lac-CDP6 (solid line) polyplexes to HUH-7 cells.

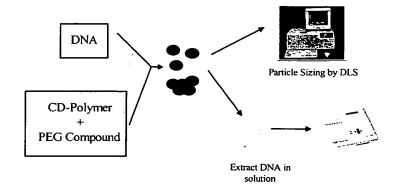


Figure 14. Schematic of Experimental Protocol, Example 47

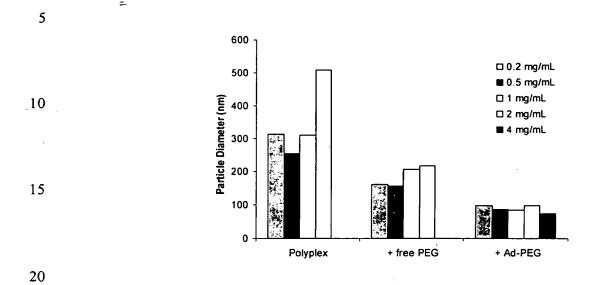


Figure 15. Particle Diameter

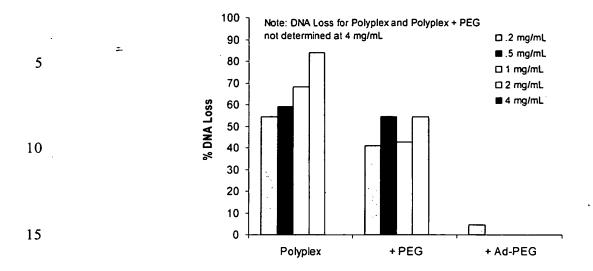
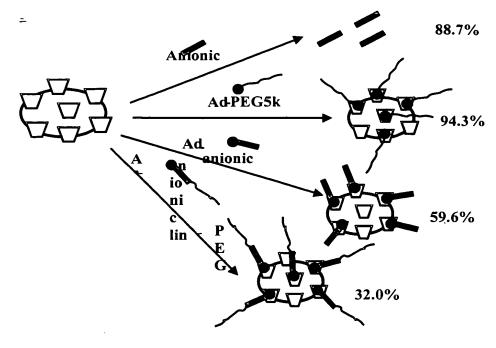


Figure 16. DNA Loss Due to Complex Precipitation



5 Figure 17 Inclusion Complexes to Modify 12/DNA Composite

14

Transfection of Modified Polyplexes to HepG2 cells (50% PEG)

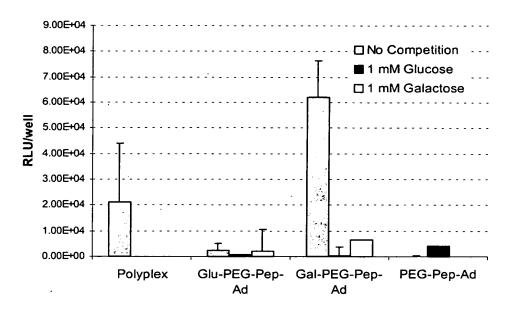
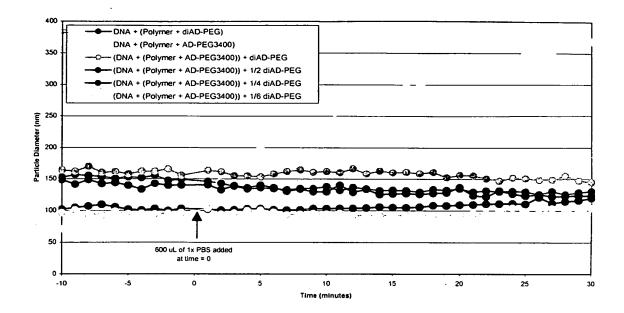


Figure 18

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5 Figure 19 Competitive Displacement Experiments

Figure 20 Synthesis of Adamantane-PEG-Transferrin (Ad-PEG-Tf)

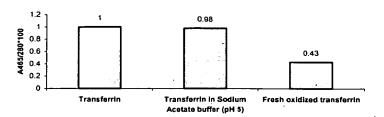


Figure 21

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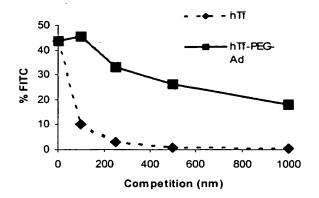
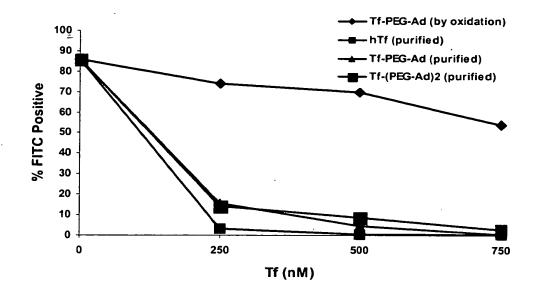


Figure 22 Binding Affinity Transferrin-PEG-Ad

5 Figure 23 Transferrin coupling via Lysine groups



5 Figure 24 Binding affinity of Transferrin-PEG-AD to transferrin receptors on PC3 cells

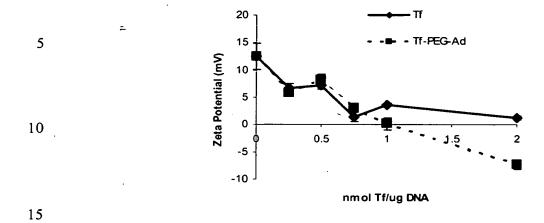


Figure 25 Zeta potential variation and particle size as a function of particle modification in transferrin and PEG-modified polyplexes

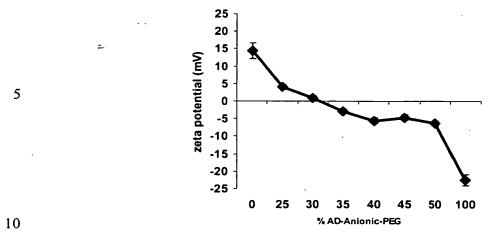


Figure 26 Zeta potential measurements, Ad-anionic-PEG

Stability in 150mM PBS using Ad-PEG 1mg/ml DNA, 3+/- CDP

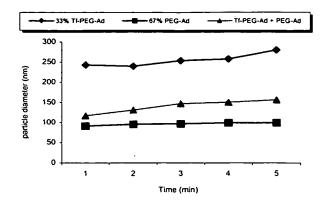


Figure 27

Addition of increasing Tf-Modifier (balance is AD-PEG) 1 mg/mL DNA, 3+/- CDP

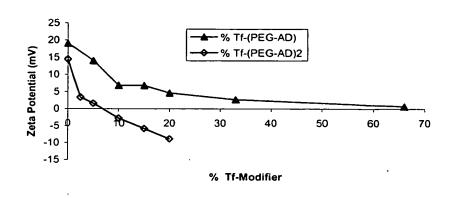


Figure 28

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The Control of the co

Synthesis of Histidylated BCDP6

βCDP6 + FMOC-Hist(Trt)-OH DCC, HOBt DMF

TFA:H₂0:TIPS

20% Piperidine

DMF

Figure

pH-sensitive Polymers for Endosomal Escape

Synthesis of secondary amine containing polymers

Figure 30